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(54) Title: A NOVEL PROCESS FOR THE PREPARATION OF LINEZOLID AND RELATED COMPOUNDS

(57) Abstract: The present invention provides a novel process for preparation of 5-aminomethyl substituted oxazolidinones, key intermediates for oxazolidinone antibacterials including linezolid. Thus linezolid is prepared by a) reacting 3-fluoro-4-morpholinyl aniline with R-epichlorohydrin; b) subjecting N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline produced above to carbonylation; c) reacting (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone produced above with potassium phthalimide; d) reacting (S)-N-[[3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide produced above with hydrazine hydrate; and e) reacting S-N-[[3-[3-Fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]amine produced above with acetic anhydride to produce linezolid.